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SYNTHESIS, AGGREGATION AND CHYMOTRYPSIN INHIBITION BY TRYPTOPHAN DERIVATIVES OF THIACALIX[4]ARENE*

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Modulation of the catalytic activity of enzymes is widely used in various fields of human activity, such as medical applications, in agriculture and food industry. Currently, the attention of researchers has shifted to the search for modulators of enzyme activity based on polyfunctional building blocks, such as fullerenes, nanotubes, macrocyclic compounds. The attractiveness of macrocycles is due to their structural features, which make it easy to fix the spatial arrangement of large number of binding groups, capable of providing non-covalent interactions with guest molecules, which makes it possible to create ligands based on them for various kinds of biomolecules, including enzymes.

Chymotrypsin (ChT) is one of the most studied enzymes (protease which catalyze the cleavage of peptide bonds). It is worth noting individually that, to date, ChT inhibitors were obtained based on the closest analogues of thiacalix[4]arene – calix[4]arene and resorcin[4]arene. Thiacalixarene differs from the classical analogue (calixarene) the simplicity of obtaining various spatial isomers, that is widely used to recognize substrates of various types.

p-tert-Butylthiacalix[4]arene derivatives containing tryptophan moieties on the lower rim in *cone*, *partial cone* and *1,3-alternate* conformation were synthesized with good yields. Aggregation properties of synthesized macrocycles were studied by dynamic light scattering. The ability to bind the enzyme as well as the ability to modulate its catalytic activity by *p-tert*-butylthiacalix[4]arene derivative in *cone* conformation was conducted.

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